(FILE 'HOME' ENTERED AT 11:10:24 ON 01 DEC 2006)

FILE 'EPFULL, FRFULL, GBFULL, PATDPAFULL, PCTFULL, RDISCLOSURE, USPATFULL, USPAT2' ENTERED AT 11:10:49 ON 01 DEC 2006

E MEDRONIC MINIMED/PA

E MEDTRONIC MINIMED/PA

L1 458 S E4-E8

L2 4 S L1 AND THYMIDINE

E WALSH JOSEPH/IN

L3 103 S E3-8

L4 8 S L3 AND THYMIDINE

FILE 'CAPLUS' ENTERED AT 11:18:06 ON 01 DEC 2006

L5 1 S WO 2005058246/PN

SELECT L5 1 RN

L6 8517 S E1-E6

FILE 'REGISTRY' ENTERED AT 11:19:14 ON 01 DEC 2006

L7 1 S 15981-92-7/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:20:50 ON 01 DEC 2006

L8 1 S 191474-13-2/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:21:27 ON 01 DEC 2006

L9 1 S 287114-80-1/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:23:19 ON 01 DEC 2006

1 S 50-89-5/RN

L10

L12

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:23:43 ON 01 DEC 2006

L11 1 S 852689-54-4/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:25:20 ON 01 DEC 2006

1 S 852689-55-5/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 11:25:42 ON 01 DEC 2006

L13 66 S L7 OR L8

=> d ibib abs hitstr 11-30

L13 ANSWER 11 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:97429 CAPLUS

DOCUMENT NUMBER:

138:137529

TITLE:

Process for the preparation of 2'-3'-dideoxy-2',3'-

didehydro-nucleosides

INVENTOR(S):

Liotta, Dennis C.; Choi, Woo-Baeq

PATENT ASSIGNEE(S): SOURCE:

Emory University, USA PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIND DATE			APPLICATION NO.					DATE						
			- 				-					-				-		
	WO	2003	0101	79		A1		2003	0206	1	WO 2	001-	US23.	267		2	0010	724
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,
			RU,	SD,	SE													
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
PRIO	RITY	APP	LN.	INFO	. :					•	US 2	000-	2203	73 P		P 20	0000	724
OTHER SOURCE(S):			MAR	PAT	138:	1375	29											
GI																		

RN

Ι

AR The present invention is an efficient synthetic route to 2',3'-dideoxy-2',3'-didehydro-nucleosides, e.g. I, wherein Z is carbon, heteroatom; R is H, silyl, activating group with a metal to form an allyl complex; R1 is oxygen protecting group. This process utilizes metal mediated allyl chemical to achieve coupling of a heterocyclic base, including a purine, pyrimidine, or other heterocyclic or heteroaryl compound to a glycal to produce a nucleoside with high regio- and enantioselectivity. Thus, β-D-2',3'-dideoxy-2',3'-didehydro-5-fluorocytidine was prepared via regio- and enantioselective coupling of 5'-tert-butyldiphenylsilyl-3'phenylurethane-glycal with nucleobase. ΙT 15981-92-7P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for preparation of dideoxydidehydronucleosides via regio- and enantio-selective coupling of heterocyclic bases to glycals) 15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

6

ACCESSION NUMBER:

2002:623850 CAPLUS

DOCUMENT NUMBER:

138:4774

TITLE:

Synthesis of p-fluorodithioacids of phosphorus and

their synthetic application

AUTHOR (S):

Tworowska, Izabela; Dabkowski, Wojciech; Michalski,

Jan

CORPORATE SOURCE:

Centre of Molecular and Macromolecular Studies, Polish

Academy of Sciences, Lodz, 90-363, Pol.

SOURCE:

Phosphorus, Sulfur and Silicon and the Related

Elements (2002), 177(6-7), 1855-1858

CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER:

Taylor & Francis Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 138:4774

Oligonucleotides containing 3'-S-P(S) and 5'-S-P(S) fragments in the deoxy-series are available only by tedious multistep procedures. We have developed a novel and efficient methodol. based on ring opening of anhydronucleosides by phosphorus dithioacids. This approach allows efficient synthesis of modified dinucleotides of the ribo-series.

ΙT 191474-13-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of phosphorus containing p-fluorodithioacid oligonucleotides

via

ring opening of anhydronucleosides using phosphorus dithioacids as the key step)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,

(2R, 3R, 5R) - (9CI) (CA INDEX NAME)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:201242 CAPLUS

DOCUMENT NUMBER: 136:386339

TITLE: Synthesis and labeling of 5'-O-(4,4'-dimethoxytrityl)-

2,3'-anhydrothymidine for [18F]FLT preparation

AUTHOR (S): Blocher, A.; Kuntzsch, M.; Wei, R.; Machulla, H.-J. CORPORATE SOURCE:

Sektion Radiopharmazie, PET-Zentrum, Sektion

Radiopharmazie, PET-Zentrum, Universitatsklinikum

Tubingen, Tubingen, 72076, Germany

SOURCE: Journal of Radioanalytical and Nuclear Chemistry

(2002), 251(1), 55-58

CODEN: JRNCDM; ISSN: 0236-5731

PUBLISHER: Kluwer Academic Publishers Journal

DOCUMENT TYPE: LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:386339

For in vivo measurement of DNA synthesis in the patient's tumor 3'-[18F]fluoro-3'-deoxythymidine (FLT) has been shown to be very promising. As a new labeling precursor 5'-O-(4,4'-dimethoxytrity1)-2,3'anhydrothymidine (DMTThy) was chosen and an organic synthesis was developed including NMR and MS data for characterization. The 18F-labeling of DMTThy can be performed within 30 min in radiochem. yields of almost 20% when using polar solvents such as DMF or DMSO and a temperature of 160°C. Hydrolysis is completed with 1N HCl at 50°C within 10 min without losses.

191474-13-2P ΙT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and labeling of dimethoxytrityl-2,3'-anhydrothymidine for 3'-[18F]fluoro-3'-deoxythymidine (FLT) preparation)

RN191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R, 3R, 5R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:675249 CAPLUS

DOCUMENT NUMBER: 136:53989

TITLE:

Solid-phase synthesis of oligodeoxynucleotides

containing 3'-S-phosphorothiolate linkages

AUTHOR(S): Fettes, Kevin J.; O'Neil, Ian; Roberts, Stanley M.;

Cosstick, Richard

CORPORATE SOURCE: Department of Chemistry, University of Liverpool,

Liverpool, L69 7ZD, UK

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001),

20(4-7), 1351-1354

CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:53989

AB For the first time a fully automated procedure has been developed for the incorporation of a 3'-S-phosphorothiolate linkage into DNA, using phosphorothioamidite monomers. Coupling yields with either of the activators 5-ethylthiotetrazole or 4,5-dicyanoimidazole were in the range of 80-90%. Coupling yields were equally good when performed on either a 0.2 or 1 μmole reaction column, thus facilitating large scale synthesis.

IT 191474-13-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase synthesis of oligodeoxynucleotides containing
phosphorothiolate linkages)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:760250 CAPLUS

DOCUMENT NUMBER: 134:56908

TITLE: Synthesis of 3'-deoxy-3'-[18F]fluoro-thymidine with

2,3'-anhydro-5'-O-(4,4'-dimethoxytrityl)-thymidine Wodarski, C.; Eisenbarth, J.; Weber, K.; Henze, M.;

AUTHOR(S): Wodarski, C.; Eisenbarth, J Haberkorn, U.; Eisenhut, M.

CORPORATE SOURCE: German Cancer Research Center (DKFZ), Heidelberg,

69120, Germany

SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals

(2000), 43(12), 1211-1218

CODEN: JLCRD4; ISSN: 0362-4803

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:56908

AB [11C] Thymidine has been used as a proliferation marker in positron-emission-tomog. (PET) studies of tumors. This compound showed metabolite related problems and the radiosynthesis proved to be difficult. Recently, the more stable 3'-deoxy-3'-[18F] fluorothymidine ([18F]FLT) has been suggested as an alternative. One advantage of [18F]FLT is based on thymidine kinase-1 catalyzed phosphorylation of FLT and the intracellular accumulation of this metabolite without participation in DNA synthesis. The radiosynthesis of [18F]FLT originally designed by Grierson et al was found to be demanding especially regarding the workup of the [18F]fluoride/1-(2-deoxy-3-0-nosyl-5-0-DMT- β -D-threo-pento-furanosyl)-3-DMBn-thymine reaction mixture Instead, we used 2,3'-anhydro-5'-O-(4,4'-dimethoxytrityl)thymidine as a precursor for the synthesis of [18F]FLT. In DMSO at 175 °C and in presence of Kryptofix 2.2.2. we obtained 5.6±1,4%[18F]FLT (EOS).

IT 191474-13-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3'-deoxy-3'-[18F]fluoro-thymidine for use in positron-emission-tomog.)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,(2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

8

ACCESSION NUMBER:

2000:688631 CAPLUS

DOCUMENT NUMBER:

133:238252

TITLE:

Preparation of 3'-azido-2',3'-dideoxythymidine by azidation of 5'-O-aroyl-2',3'-anhydrothymidine and

subsequent deprotection

INVENTOR (S):

Surzhikov, S. A.; Rumyantseva, N. G.; Kononov, A. V.;

Kraevskii, A. A.

PATENT ASSIGNEE(S):

S and T Scientific and Technology Inc., Virgin I.

(Brit.)

SOURCE:

Russ. From: Izobreteniya 1999, (15), 464.

CODEN: RUXXE7

DOCUMENT TYPE:

Patent Russian

LANGUAGE:

Russia:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
	RU 2130942	C1	19990527	RU 1997-111134	19970711					
PRIO	RITY APPLN. INFO.:			RU 1997-111134	19970711					
AB	Title only translat	ed.								
ΙT	15981-92-7D, 5'-O-aroyl derivs.									
	RL: RCT (Reactant);	RACT (Reactant or	reagent)						
	(preparation of 3'-azido-2',3'-dideoxythymidine by azidation of									
	5'-0-aroyl-2',3'	-anhydr	othymidine a	nd subsequent deprotect	ion)					
RN	15981-92-7 CAPLUS	_	_	-						
CN	2,5-Methano-5H,9H-p	yrimido	[2,1-b][1,5,	3]dioxazepin-9-one,						
				-	CA INDEX					
	NAME)			,						

Absolute stereochemistry.

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L13 ANSWER 17 OF 66
                      CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2000:346657 CAPLUS
DOCUMENT NUMBER:
                         133:150823
TITLE:
                         Simplified labeling approach for synthesizing
                         3'-deoxy-3'-[18F]fluorothymidine ([18F]FLT)
AUTHOR (S):
                         Machulla, H.-J.; Blocher, A.; Kuntzsch, M.; Piert, M.;
                         Wei, R.; Grierson, J. R.
CORPORATE SOURCE:
                         Sektion Radiopharmazie, PET-Zentrum,
                         Universitatsklinikum Tubingen, Tubingen, 72076,
                         Germany
SOURCE:
                         Journal of Radioanalytical and Nuclear Chemistry
                         (2000), 243(3), 843-846
                         CODEN: JRNCDM; ISSN: 0236-5731
PUBLISHER:
                         Kluwer Academic Publishers
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
OTHER SOURCE(S):
                         CASREACT 133:150823
     [18F]FLT (3'-deoxy-3'-[18F]fluorothymidine) turned out to be a tracer
     particularly suitable for PET imaging of tumor proliferation because of
```

lacking degradation in vivo. To facilitate clin. studies with [18F]FLT, we investigated two new easily accessible precursors, 2,3'-anhydrothymidine (AThy) and 5'-O-(4,4'-dimethoxytriphenylmethyl)-2,3'-anhydrothymidine (DMTThy), using a common approach for introducing the label with nucleophilic [18F]fluoride. Radiochem. yields were determined in dependence on substrate concentration, reaction time and temperature In the case of AThy

best FLT yields were 5.3% ±1.2 (130°C, 30 min). Labeling of DMTThy (10 mg) gave 14.3%±3.3 at 160°C within 10 min. Starting with an aqueous solution of 20 GBq [18F]fluoride the new method allows to

1.3 GBq [18F]FLT within 90 min ready for i.v. injection. The new labeling procedures allow [18F]FLT synthesis without lengthy preparation of the precursor and with high reproducibility mandatory for clin. application.

ΙT 15981-92-7 191474-13-2

Absolute stereochemistry.

NAME)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 18 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:255976 CAPLUS

DOCUMENT NUMBER: 133:208102

TITLE: Radio-synthesis of 3'-deoxy-3'-[18F]fluorothymidine:

[18F]FLT for imaging of cellular proliferation in vivo

AUTHOR(S): Grierson, J. R.; Shields, A. F.

CORPORATE SOURCE: Research Imaging Laboratory, University of Washington

Medical Center, Seattle, WA, USA

SOURCE: Nuclear Medicine and Biology (2000), 27(2), 143-156

CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB A reliable radio-synthesis of 3'-deoxy-3'-[18F]fluorothymidine ([18F]FLT) has been developed based on [18F]fluoride displacement of a protected nosylate precursor. A simple three-step synthesis is described that is

useful for preparing > 10 mCi (370 MBq) of radiochem. pure 18F Ci/µmol (37 GBq/µmol) at EOS within 100 min and in 13% radiochem. yield (end of bombardment, EOB); 7% end of synthesis. [18F]FLT has been designed as a new positron emission tomog. imaging agent for visualizing cellular proliferation in vivo based on the metabolism of thymidine.

IT 15981-92-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(radio-synthesis of 3'-deoxy-3'-[18F]fluorothymidine: [18F]FLT for imaging of cellular proliferation in vivo)

RN15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2006 ACS on STN L13 ANSWER 19 OF 66

42

ACCESSION NUMBER:

2000:145237 CAPLUS

DOCUMENT NUMBER:

132:322061

TITLE:

Ab Initio Calculations of Spin-Spin Coupling Constants

in Anhydrodeoxythymidines

AUTHOR (S):

Czernek, Jiri; Lang, Jan; Sklenar, Vladimir

CORPORATE SOURCE:

Laboratory of Biomolecular Structure and Dynamics,

Masaryk University, Brno, CZ-611 37, Czech Rep.

SOURCE:

Journal of Physical Chemistry A (2000), 104(12),

2788-2792

CODEN: JPCAFH; ISSN: 1089-5639

DOCUMENT TYPE:

PUBLISHER:

American Chemical Society

Journal

LANGUAGE: English

For relatively large organic mols. (containing 16 non-hydrogen atoms each), anhydrodeoxythymidines, three- (3JHH) and two-bond (2JHH) 1H-1H and one-bond 1H-13C (1JCH) spin-spin coupling consts. (J-couplings) were determined both exptl. and theor. using NMR spectroscopy and d. functional theory (DFT). A very good agreement between DFT-predicted and measured values was obtained for 3JHH (rmsd = $0.4\ Hz$). 2JHH and 1JCH were underestimated relative to the experiment For all J-couplings investigated, noncontact contributions were negligible or canceled each other out. In general, the level of agreement between DFT and experiment is very promising.

ΙT 15981-92-7

RL: PRP (Properties)

(ab initio calcns. of spin-spin coupling consts. in anhydrodeoxythymidines)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) NAME)

Absolute stereochemistry.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 20 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:76171 CAPLUS

DOCUMENT NUMBER: 132:265422

TITLE: Synthesis of 3'-S-(2-aminoethylthio)-3'-deoxythymidine

5'-triphosphates

AUTHOR(S): Wojczewski, Christian; Engels, Joachim W.

CORPORATE SOURCE: Institut Organische Chemie, Johann Wolfgang

Goethe-Univ., Frankfurt/Main, D-60439, Germany

SOURCE: Synthesis (2000), (1), 149-153 CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:265422

AB 3'S-[2-(tert-butoxycarbonylamino)ethylthio]-3'-deoxy-5'-0-(4,4'-

dimethoxytrityl)thymidine (I) was synthesized by treating

5'-0-(4,4'-dimethoxytrityl)-2,3'-anhydrothymidine with tert-Bu

N-(2-mercaptoethyl)carbamate and DBU. Compound I was further converted to

3'S-[2-(tert-butoxycarbonylamino)ethylthio]-3'-deoxythymidine 5'-triphosphate and 3'S-(2-aminoethylthio)-3'-deoxythymidine

5'-triphosphate. The latter compound was labeled with a near-IR fluorescent dye.

IT 191474-13-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of (aminoethylthio)deoxythymidine phosphates)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,

(2R, 3R, 5R) - (9CI) (CA INDEX NAME)

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:792653 CAPLUS

DOCUMENT NUMBER: 132:208074

TITLE: 2-(Trimethylsilyl)ethanethiol in Nucleoside Chemistry.

A Short Route for Preparing Thionucleosides and Their

Methyl Disulfides

AUTHOR(S): Chambert, Stephane; Gautier-Luneau, Isabelle;

Fontecave, Marc; Decout, Jean-Luc

CORPORATE SOURCE: Laboratoire de Chimie Bio-organique UMR CNRS 506

Departement de Pharmacochimie Moleculaire UFR de Pharmacie, Universite Joseph Fourier-Grenoble I, La

Tronche, F-38706, Fr.

SOURCE: Journal of Organic Chemistry (2000), 65(1), 249-253

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

We report here a short route for preparing thio-nucleosides and their corresponding Me disulfides using 2-(trimethylsilyl)ethanethiol $(\beta$ -ethylsilyl thiol, BEST) as a source of sulfur, a method previously developed by Fuchs and co-workers for synthesizing acyl- and alkyl-substituted thiols. These authors have reported that the 2-(trimethylsilyl)ethyl sulfide intermediate did not afford the corresponding thiol by treatment with fluorides. Reaction with dimethyl(methylthio)sulfonium tetrafluoroborate in the presence of Me disulfide gave the corresponding acyl- or alkyl-substituted Me disulfide, which can be reduced with tributylphosphine. Nucleosides in which a 2'-(2-(trimethylsilyl)ethyl)thio group is linked to the sugar were prepared, and their reaction with dimethyl (methylthio) sulfonium tetrafluoroborate led to the corresponding Me disulfide in high yield. On the contrary, we describe here the first example of direct and quant. elimination of this group in 2'-deoxy-8-(2-(trimethylsilyl)ethyl)thioadenosine with tetrabutylammonium fluoride at room temperature for obtaining the corresponding thione on the base. Such a deprotection should be of interest in the preparation of modified oligonucleotides.

IT 191474-13-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(trimethylsilylethanethiol in nucleoside chemical a short route for. preparing

thionucleosides and their Me disulfides)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:268783 CAPLUS

DOCUMENT NUMBER: 131:88114

TITLE: Ab Initio Calculations of 1H and 13C Chemical Shifts

in Anhydrodeoxythymidines

AUTHOR(S): Czernek, Jiri; Sklenar, Vladimir

CORPORATE SOURCE: Laboratory of Biomolecular Structure and Dynamics,

Masaryk University, Brno, CZ-611 37, Czech Rep.

SOURCE: Journal of Physical Chemistry A (1999), 103(20),

4089-4093

CODEN: JPCAFH; ISSN: 1089-5639

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB For relatively large (containing 16 non-hydrogen atoms each) organic mols., anhydrodeoxythymidines, 1H and 13C NMR chemical shifts were predicted using CPHF-GIAO, DFT-GIAO, and SOS-DFPT-IGLO methods. Both ab initio optimized and exptl. derived geometries of studied compds. were investigated. In the majority of cases, good agreement of theor. and exptl. chemical shifts was obtained with an average rmsd for SOS-DFPT-IGLO, DFT-GIAO, and CPHF-GIAO calcns. of 5.5, 5.2, and 6.6 ppm for 13C and 0.233, 0.269, and 0.297 ppm for 1H, resp. The best overall performance was found with the SOS-DFPT-IGLO technique.

IT 15981-92-7

RL: PRP (Properties)

(ab initio calcns. of 1H and 13C chemical shifts in

anhydrodeoxythymidines)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:148425 CAPLUS

DOCUMENT NUMBER: 128:205081

TITLE: The reaction of 2'-deoxynucleosides with

> N-(2-chloro-1,1,2-trifluoroethyl)diethylamine: mechanisms of O2,3'-anhydro-2'-deoxynucleoside and

byproduct formation

AUTHOR (S): Sehgal, Raj K.; Turcotte, Joseph G.

CORPORATE SOURCE: Dep. Medicinal Chem., College Pharmacy, Univ. Rhode

Island, Kingston, RI, 02881, USA

SOURCE: Journal of Chemical Research, Synopses (1998), (1),

24-25

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: LANGUAGE: English

Reaction mechanisms consistent with the formation of isopropylidene-like trans-furanose-3',5'-[2-(R)(S)-aminochloro-fluoromethyl-1,3-dioxanyl]-2'deoxynucleoside intermediates, 02,3'-anhydro-2'-deoxynucleosides and other

minor reaction products and the yield-limiting effect of

trans-furanose-3',5'-[2-(R)(S)-aminochloro-fluoromethyl-1,3-dioxanyl]-2'deoxynucleoside on the cyclization of O2,3'-anhydro-2'-deoxynucleosides are proposed.

15981-92-7P IT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reaction of deoxynucleosides with chlorotrifluoroethyldiethylamine)

RN 15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:357328 CAPLUS

DOCUMENT NUMBER: 127:81728

TITLE:

A facile route to 3'-modified oligonucleotides AUTHOR (S): Shchepinov, Mikhail S.; Stetsenko, Dmitry A.

CORPORATE SOURCE: Dep. of Biochemistry, Oxford University, Oxford, OX1

3QU, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(9),

1181-1184

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier DOCUMENT TYPE: Journal

LANGUAGE: English

We describe an easy method for the solid phase synthesis of 3'-modified oligonucleotides. The general synthetic scheme involves the immobilization of 5'-DMTr-T to CPG via a sulfonate linker, oligonucleotide synthesis and subsequent basic treatment to afford 3'-modified oligonucleotides containing a 2,3'-anhydronucleoside moiety. These compds. can be readily transformed into 3'-substituted oligonucleotides such as 3'-deoxy-3'-azido species.

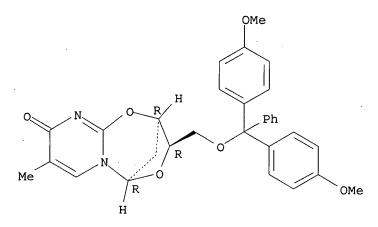
ΙT 191474-13-2P

> RL: SPN (Synthetic preparation); PREP (Preparation) (solid phase preparation of 3'-modified oligodeoxyribonucleotides)

RN 191474-13-2 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R, 3R, 5R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 25 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:341254 CAPLUS

DOCUMENT NUMBER:

125:108579

TITLE:

Effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma

qondii

AUTHOR (S):

el Kouni, Mahmoud H.; Naguib, Fardos N. M.; Panzica, Raymond P.; Otter, Brian A.; Chu, Shih-Hsi; Gosselin, Gilles; Chu, Chung K.; Schinazi, Raymond F.; Shealy, Y. Fulmer; et al.

CORPORATE SOURCE:

Dep. Pharmacol. Toxicol., Univ. Alabama Birmingham,

Birmingham, AL, 35294, USA

SOURCE:

Biochemical Pharmacology (1996), 51(12), 1687-1700

CODEN: BCPCA6; ISSN: 0006-2952

PUBLISHER:

Elsevier Journal English

DOCUMENT TYPE: LANGUAGE:

One hundred and fifty analogs of uridine, with various modifications to the uracil and pentose moieties, have been tested and compared with uridine with respect to their potency to bind to uridine phosphorylase (UrdPase, EC 2.4.2.3) from Toxoplasma gondii. The effects of the $\alpha\text{--}$ and β -anomers, the L- and D-enantiomers, as well as restricted syn and anti rotamers, on binding were examined Pseudo-, lyxo-, 2,3'-anhydro-2'-deoxy-, 6,5'-cyclo-, 6,3'-methano-, 05',6-methano- and carbocyclic uridines did not bind to the enzyme. Ribosides bound better

than the corresponding xylosides, which were better than the deoxyribosides. The binding of deoxyribosides was in the following manner: 2',3'-dideoxynucleosides > 2',5'-dideoxynucleosides > 2'-deoxyribosides > 3'- and 5'-deoxyribosides. The α -2'deoxyribosides bound to the enzyme, albeit less tightly than the corresponding β -anomers. The acyclo- and 2,2'-anhydrouridines bound strongly, with the 2,2'-anhydro-derivs. being the better ligands. 2,5'-Anhydrouridine bound to UrdPase less effectively than 2,2'-anhydrouridine and acylouridine,. Arabinosyluracil was at best a very poor ligand, but bound better if a benzyl group was present at the 5-position of the pyrimidine ring. This binding was enhanced further by adding a 5-benzyloxybenzyl group. A similar enhancement of the binding by increased hydrophobicity at the 5-position of the pyrimidine ring was observed with ribosides, α - and β -anomers of the 2'-deoxyribosides, acyclonucleosides, and 2,2'-anhydronucleosides. all the compds. tested, 5-(benzyloxybenzyl)-2,2'-anhydrouridine was identified as the best ligand of T. gondii UrdPase with an apparent Ki value of 60 \pm 3 nM. It is concluded that the presence of an N-glycosyl bond is a prerequisite for a nucleoside ligand to bind to T. gondii UrdPase. On the other hand, the presence of a 2'-, 3'-, or 5'-hydroxyl group, or an N-glycosyl bond in the $\beta\text{-configuration},$ enhanced but was not essential for binding. Furthermore, the potency of the binding of 2,2'-anhydrouridines (fixed high syn isomers), and the complete lack of binding of the 6,5'-cyclo, 05',6-methano- and 6,3'-methanouridines (fixed anti isomers), and the complete lack of binding of the 6,5'-cyclo, 05',6-methano- and 6,3'-methanouridines (fixed anti isomers) to T. gondii UrdPase indicate that the binding of ligands to this enzyme is in the syn/high syn conformation around the N-glycosyl bond. The results also indicate that the parasite but not the mammalian host UrdPase can participate in hydrogen bonding with N3 of the pyrimidine ring of nucleoside ligands. T. gondii UrdPase also has a larger hydrophobic pocket adjacent to the C5 of the pyrimidine moiety than the host enzyme, and can accommodate modifications in the pentose moiety which cannot be tolerated by the host enzyme. Most prominent among these modifications is the absence and/or lack of the ribo orientation of the 3'-hydroxyl group, which is a requirement for a ligand to bind to mammalian UrdPase. These differences between the parasite and host enzymes can be useful in designing specific inhibitors or subversive substrates for T. gondii UrdPase.

IT 15981-92-7

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDE: NAME)

L13 ANSWER 26 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:198524 CAPLUS

DOCUMENT NUMBER: 124:343961

TITLE: An improved synthesis of azidothymidine

AUTHOR (S): Balagopala, Meher I.; Ollapally, Abraham P.; Lee,

Henry J.

CORPORATE SOURCE: Dep. of Chemistry, Florida A & M Univ., Tallahassee,

FL, 32307, USA

SOURCE: Nucleosides & Nucleotides (1996), 15(4), 899-906

CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER: Dekker

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:343961

A convenient and high yielding procedure is described for a direct conversion of thymidine into 2,3'-anhydrothymidine using the Mitsunobu reaction. AZT has been synthesized from thymidine in two steps, in 62%

overall yield, by heating 2,3'-anhydrothymidine with NaN3 in DMF. ΙT 15981-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

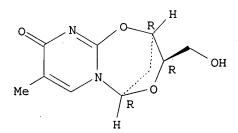
(improved synthesis of azidothymidine from thymidine)

RN 15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 27 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:866644 CAPLUS

DOCUMENT NUMBER: 124:87660

Synthesis of 2,3'-anhydro-2'-deoxyuridines and TITLE:

2',3'-didehydro-2',3'-dideoxyuridines using polymer

supported fluoride

AUTHOR (S): Larsen, Erik; Kofoed, Thomas; Pedersen, Erik B. CORPORATE SOURCE:

Dep. Chem., Odense Univ., Odense, DK-5230, Den.

SOURCE: Synthesis (1995), (9), 1121-5

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Thieme

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:87660

GI

AB Reaction of Me 5-0-tert-butyldiphenylsilyl-2-deoxy-3-0-p-toluenesulfonyllpha,eta-D-erythro-pentofuranoside with silylated uracils with

CF3SO3SiMe3 as catalyst afforded after crystallization in Et2O the corresponding

 β -nucleosides I (R = H, Me, Et, F, Br, I; R1 = Me3CPh2SO2; R2 = 4-MeC6H4SO3; R3 = H). Reaction of I with Bu4NF or amberlyst A-26 resin (F--form) in THF at room temperature or at reflux gave the corresponding

deprotected compds. I (R1 = H; R2R3 = bond) and II.

IT 15981-92-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

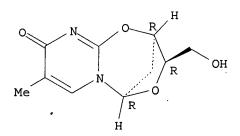
(preparation of anhydrodeoxyuridines and didehydrodideoxyuridines with polymer supported fluoride)

15981-92-7 CAPLUS RN

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:703901 CAPLUS

DOCUMENT NUMBER:

124:9261

TITLE:

Synthesis, conformation of 3'-(tetrazole-2''-yl)-3'deoxythymidine and its 5''-derivatives. Substrate properties of 3'-(tetrazole-2''-yl)-3'-deoxythymidine

5'-triphosphate

AUTHOR(S):

Ostrovskii, V. A.; Studentsov, E. P.; Poplavskii, V. S.; Ivanova, N. V.; Gurskaya, G. V.; Zavodnik, V. E.;

Jasko, M. V.; Semizarov, D. G.; Krayevsky, A. A.

CORPORATE SOURCE: St. Petersburg Technological Institute, St.

Petersburg, 198013, Russia

SOURCE:

Nucleosides & Nucleotides (1995), 14(6), 1289-300

CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER: DOCUMENT TYPE: Dekker

Journal

LANGUAGE:

English

AB 5'-O-Benzoyl-3'-(tetrazole-2''-yl)-3'-deoxythymidine and its 5''-substituted derivs. were obtained by the reaction of 5'-O-benzoyl-2,3'-anhydrothymidine with triethylammonium salts of either tetrazole or 5-substituted tetrazoles. Debenzoylation of these compds. yielded 3'-(tetrazole-2''-y1)-3'-deoxythymidine and its 5''-derivs. Structures of two of them were confirmed by x-ray anal. Both 3'-(tetrazole-2''-yl)-3'-deoxythymidine and 3'-(5''-methyltetrazole-2''yl)-3'-deoxythymidine have anti-conformation with respect to the glycosidic bond, and 2'-endo-3'-exo-conformation of the sugar residue with gauche orientation relative to the C4'-C5'-bond. 3'-(Tetrazole-2''-y1)-3'deoxythymidine 5'-triphosphate exhibited poor termination substrate properties towards avian myeloblastosis virus reverse transcriptase and did not serve as a substrate for other employed DNA polymerases. IT 15981-92-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and conformation of tetrazole deoxythymidine and its
triphosphate as substrate of avian myeloblastosis virus reverse
transcriptase)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:385075 CAPLUS

DOCUMENT NUMBER: 122:265912

TITLE: Fluorination at C2', C3' and C5' of nucleosides with

1-chloromethyl-4-fluoro-1,4-diazabicyclo[2.2.2]octane

bis(tetrafluoroborate) Selectfluor reagent

AUTHOR(S): Lal, G. Sankar

CORPORATE SOURCE: Air Products Chemicals, Inc., Corporate Science

Technology Center, Allentown, PA, 18195-1501, USA

SOURCE: Synthetic Communications (1995), 25(5), 725-37

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Dekker

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:265912

AB Electrophilic fluorination of thioaryl ethers on the sugar component of nucleosides with com. available SELECTFLUOR reagent provides a new method

for the synthesis of C2', C3' and C5' fluoronucleosides.

IT 15981-92-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(regio- and stereoselective electrophilic fluorination of nucleosides with chloromethylfluorodiazabicyclooctane bis(tetrafluoroborate))

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

L13 ANSWER 30 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:342089 CAPLUS

DOCUMENT NUMBER:

122:240306

TITLE:

Enzymic regioselective alkoxycarbonylation of

nucleosides and its utility in nucleoside derivative

synthesis

AUTHOR (S):

Gotor, Vicente; Moris, Francisco; Garcia-Alles, Luis

CORPORATE SOURCE:

Facultad de Quimica, Universidad de Oviedo, Oviedo,

33071, Spain

SOURCE:

Biocatalysis (1994), 10(1-4), 295-305

CODEN: BIOCED; ISSN: 0886-4454

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 122:240306

GΙ

Ι

AB The regioselective enzymic alkoxycarbonylation of nucleosides is described for $\alpha\text{--},\ xylo\text{--},\ anhydro\text{--},\ and\ arabino-nucleosides to obtain$ Cbz-derivs. The utility of these compds. and of the related vinyl carbonates of 2'-deoxynucleosides is shown by the synthesis of 3'-0-acetates of α -and xylo-thymidine and the synthesis of some nucleoside carbamates, e.g. I (R = H, Bn, B = adenine, thymine).

IT 15981-92-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(enzymic regioselective alkoxycarbonylation of nucleosides in preparation of carbonate carbamate derivs.)

RN 15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) NAME)

L13 ANSWER 51 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:91126 CAPLUS

DOCUMENT NUMBER:

110:91126

TITLE: Inhibition of uridine phosphorylase by pyrimidine

> nucleoside analogs and consideration of substrate binding to the enzyme based on solution conformation

as seen by NMR spectroscopy

AUTHOR (S): Veres, Zsuzsa; Neszmelyi, Andras; Szabolcs, Anna;

Denes, Geza

CORPORATE SOURCE: Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest,

H-1525, Hung.

SOURCE: European Journal of Biochemistry (1988), 178(1),

173-81

CODEN: EJBCAI; ISSN: 0014-2956

DOCUMENT TYPE:

Journal

LANGUAGE: English

Some 3'- and(or) 5'-substituted pyrimidine nucleosides, as well as anhydropyrimidine nucleosides, which have no flexibility about the N-glycosidic bond were studied as inhibitors of thymidine phosphorylase and uridine phosphorylase. The conformation of some analogs was also investigated to obtain information on substrate binding to the enzyme. The above compds., including the potential anti-(human immunodeficiency virus) agent, 3'-azido-2',3'-dideoxy-5-methyluridine were not substrates for either thymidine phosphorylase or uridine phosphorylase. The only exception was arabinofuranosyl-5-ethyluracil, which proved to be a poor substrate for uridine phosphorylase. The phosphorolysis of thymidine by thymidine phosphorylase was slightly or not at all altered by these pyrimidine nucleoside analogs. The lowest Ki was obtained in the case of 3'-azido-2',3'-dideoxy-5-methyluridine and the highest in the case of 2'-deoxylyxofuranosyl-5-ethyluracil, when studying the analogs with flexible structure as inhibitors of uridine phosphorylase. The Ki for 2,3'- and 2,5'-anhydro-2'-deoxy-5-ethyluridine was 5-6 orders of magnitude higher than that for 2,2'-anhydro-5-ethyluridine. Competitive inhibition was observed in all cases. For these three mols. computer-aided mol. modeling predicts the following glycosidic torsion angles χ (04,-C1,-N1-C2): 109° for 2,2'-anhydro-5-ethyluridine, and 78 and 71° for 2,3'- and 2,5'-anhydro-2'-deoxy-5-ethyluridine resp. These values are corroborated by high-resolution 13C and 1H NMR studies. 2'-Deoxy-5-ethyluridine is predicted to have a syn conformation with χ = 46° and ΔE .apprx.2.5 kJ/mol over the min. energy (in anti position, χ = -147°). 1H and 13C data, including homonuclear Overhauser enhancements, complete the information about the solution conformation. Considering the Ki values obtained, it is likely that substrates of uridine phosphorylase will bind to the enzyme in the same conformation as 2,2'-anhydro-5-ethyluridine. The >30° deviation from the N-glycosidic torsion angle of 2,2'-anhydro-5-ethyluridine results in much higher Ki values.

IT 15981-92-7

RL: PROC (Process)

(conversion of, to azidodideoxymethyluridine)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

L13 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1988:611404 CAPLUS

DOCUMENT NUMBER:

109:211404

TITLE:

Preparation of 1-(3-azido-2,3-dideoxy-erythro-

pentofuranosyl)pyrimidines via anhydro intermediates

INVENTOR(S): Hayauchi, Yutaka; Lockhoff, Oswald

PATENT ASSIGNEE(S):

Bayer A.-G., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	-				
DE 3705794	A1	19880901	DE 1987-3705794		19870224
EP 280128	A2	19880831	EP 1988-102069		19880212
R: DE, FR, GB,	ΙT		•		
JP 63222194	A2	19880916	JP 1988-34120		19880218
PRIORITY APPLN. INFO.:			DE 1987-3705794	Α	19870224
OTHER SOURCE(S):	CASREA	CT 109:21140	4; MARPAT 109:211404		
GI					

AB The title compds (I; R1 = H, Me, Et, halo; R2 = OH, R3 = N3; X = O, NH, NAc, NBz) (II), useful as virucides (no data), were prepared 5'-O-tert-Butyldimethylsilyl-3'-O-methylsulfonylthymidine (preparation given) in acetone/H2O was refluxed 5 d with KF to give 2,3'-anhydro-1-(2-deoxy- β -D-threo-pentofuranosyl) thymine. The latter was heated at 140° in DMF with NaN3 to give 3'-azido-3'-deoxythymidine. ΙT 15981-92-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as virucide intermediate)

RN 15981-92-7 CAPLUS

Ι

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 53 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:38269 CAPLUS

DOCUMENT NUMBER: 108:38269

TITLE: Synthesis and study of the dinucleoside phosphates

containing arabino- and deoxyxylonucleosides

AUTHOR (S): Sokolova, N. I.; Krynetskaya, N. F.; Suchanova, L. L.;

Journal

Dolinnaya, N. G.; Shabarova, Z. A. Chem. Dep., M. V. Lomonosov State Univ., Moscow, USSR CORPORATE SOURCE:

SOURCE: Bioorganicheskaya Khimiya (1987), 13(3), 379-85

CODEN: BIKHD7; ISSN: 0132-3423

DOCUMENT TYPE:

LANGUAGE: Russian

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Two isomeric pairs of dinucleoside phosphates containing deoxyxylosylthymine and arabinosyluracil e.g. I-IV were synthesized. Conversion of configuration at C2' or C3' in 5'-terminal sugar moieties of the dinucleoside phosphates resulting either in complete distortion of stacking or in opposite handedness of the helix was confirmed by CD. similar modification in 3'-terminal sugar moieties did not significantly affect the chain geometry. Kinetics of hydrolysis of the modified dinucleoside phosphates catalyzed by venom phosphodiesterase using HPLC confirmed that the Km values were similar for all compds. as well as for d(Tpa). The maximum hydrolysis rate is decreased for the modified compds., depending on the position and the nature of the modified nucleoside.

ΙT 15981-92-7P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) NAME)

L13 ANSWER 54 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1987:452002 CAPLUS

DOCUMENT NUMBER:

107:52002

TITLE:

Therapeutic nucleosides

INVENTOR(S):

Rideout, Janet Litster; Barry, David Walter; Lehrman, Sandra Nusinoff; St. Clair, Martha Heider; Furman, Phillip Allen; Beacham, Lowrie Miller, III; LeBlanc,

Harry Sidney; Freeman, George Andrew

PATENT ASSIGNEE(S):

Wellcome Foundation Ltd., UK

SOURCE:

Eur. Pat. Appl., 29 pp. CODEN: EPXXDW

Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND		APPLICATION NO.	DATE
EP 199451	A2	19861029	EP 1986-301896	19860314
EP 199451				
EP 199451				
R: AT, BE, CH,	DE, FR	, GB, IT,	LI, LU, NL, SE	
DK 8601182	Α	19860917	DK 1986-1182 AU 1986-54757	19860314
DK 166805	B1	19930719		
AU 8654757	A1	19860918	AU 1986-54757	19860314
AU 578809	B2	19881103	·	
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			ΑT	1986-683	Α	19860314
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			ΕP	1986-301897	P	19860314
			ΕP	1988-101790	Α	19860314
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·			US	1991-670499	В1	19910315
			US	1991-792812	B1	19911115
			US	1993-540593	. A1	19930226
OTHER SOURCE(S):	MARPAT	107:52002				
AB 3'-Azido-3'-deoxythi	midine	, its threo-	3 ' - a	azido isomer an	d some	e of their

AB 3'-Azido-3'-deoxythimidine, its threo-3'-azido isomer and some of their derivs. are active against human and animal retroviruses, such as HTLV-1, HTLV-II and feline leukemia virus, as well as gram-neg. bacterial infections. The preparation of these nucleosides is given. Thus, a mixture of thymidine, N-(2-chloro-1,1,2-trifluoroethyl)diethylamine and DMF was heated at 70° for 30 min to give 2,3'-O-anhydrothymidine, which upon refluxing for 5 h with NaN3 in aqueous DMF gave 3'-azido-3'-deoxythymidine (I). I.v. administration of 30 mg I/kg/day for 6 wk to cats with feline leukemia decreased by 10-90% the feline leukemia virus level in the leukocytes. Formation examples are given.

IT 15981-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with sodium azide)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1987:38480 CAPLUS

DOCUMENT NUMBER:

106:38480

TITLE:

Virucidal 3'-azido-3'-deoxythymidine

INVENTOR(S):

Rideout, Janet Lister; Barry, David Walter; Lehrman, Sandra Nusinoff; St. Clair, Martha Heider; Furman,

Phillip Allen; Freeman, George Andrew

PATENT ASSIGNEE(S):

Wellcome Foundation Ltd., UK

SOURCE:

Ger. Offen., 50 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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	A1	19860918		
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3'-Azido-3'-deoxythymidine (I) and their derivs., such as the 5'-diphosphate, 5'-(3-methylbutyrate)-, 5'-octanoate, 5'-palmitate, and 5'-pivalate are prepared as agents active against human retroviruses. The compds. can be used for the treatment of AIDS, generalized lymphadenopathy, and similar diseases. Thus, a mixture of 2,3'-O-anhydrothymidine, NaN3, DMF, and water was refluxed for 5 h, to give I. Addition of 1 mg I/mL to the drinking water of mice inoculated with the RVB3 strain of the Rauscher leukemia virus, suppressed the infection of the spleen cells and the development of splenomegalia. A tablet was formulated containing I 250, lactose 210, Povidon 15, Na starch glycolate 20, and Mg stearate 5 mg.

IT 15981-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with sodium azide)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 56 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1984:592378 CAPLUS

DOCUMENT NUMBER:

101:192378

TITLE:

Aminonucleosides and their derivatives. XI.

Synthesis of 3'-amino-2', 3'-dideoxynucleoside

5'-triphosphates

AUTHOR (S):

Zaitseva, V. E.; Dyatkina, N. B.; Kraevskii, A. A.;

Skaptsova, N. V.; Turina, O. V.; Gnuchev, N. V.;

Gottikh, B. P.; Azhaev, A. V.

CORPORATE SOURCE:

Inst. Mol. Biol., Moscow, USSR

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Bioorganicheskaya Khimiya (1984), 10(5), 670-80

CODEN: BIKHD7; ISSN: 0132-3423

DOCUMENT TYPE:

LANGUAGE:

Journal Russian

GΙ

AB 3'-Azido-2',3'-dideoxynucleosides I (B = thymine, adenine, guanine) were prepared by modifications of conventional methods. I (B = cytosine, uracil) were prepared from 2'-deoxycytidine and 2'-deoxyuridine, resp., via ring opening of 3',02-anhydro derivs., e.g. II, with LiN3. I were converted to their 5'-monophosphates and triphosphates and the latter were reduced to the corresponding 3'-amino-2,3-dideoxynucleoside 5'-triphosphates which are effective inhibitors of DNA synthesis catalyzed by DNA polymerases (no data).

IT 15981-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation, azidation, and ring cleavage of)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 57 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:475431 CAPLUS

DOCUMENT NUMBER: 89:75431

TITLE: Synthesis of 3'-azido-2',3'dideoxyribofuranosylpurines

AUTHOR (S): Imazawa, M.; Eckstein, F.

CORPORATE SOURCE: Abt. Chem., Max-Planck-Inst. Exp. Med., Goettingen,

Fed. Rep. Ger.

SOURCE: Journal of Organic Chemistry (1978), 43(15), 3044-8

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English GI

 NH_2

HOCH₂ HOCH₂

 NH_2 III NH₂IV

AB Transglycosylation of 3'-azido-3'-deoxy-5'-O-acetylthymidine, which is readily available from thymidine, with silylated N6-octanoyladenine using CF3SO3SiMe3 as a catalyst gave a mixture of α and β (I) anomers of 3'-azido-2',3'-dideoxyadenosine, which is separable on a silica gel column. Replacement of silylated N6-octanoyladenine by silylated N2-palmitoylguanine gave a mixture from which α and β (II) anomers of 9-(3-azido-2,3-dideoxy-D-ribofuranosyl)guanine was isolated. The N-7 isomers also are obtained, but could not be separated Treatment of I and II with Ph3P and subsequent hydrolysis gave aminodideoxy nucleosides III and IV. A further simplification of this transglycosylation and its applicability to preparation of ribonucleosides are demonstrated.

ΙT 15981-92-7

> RL: RCT (Reactant); RACT (Reactant or reagent) (azidolysis of)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:121666 CAPLUS

DOCUMENT NUMBER: 88:121666

TITLE:

02,3'-Cyclopyrimidine nucleosides INVENTOR(S):

Shibuya, Susumu; Kuninaka, Akira; Yoshino, Hiroshi PATENT ASSIGNEE(S): Yamasa Shoyu Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
						
JP 52122398	A2	19771014	JP 1976-37216	19760405		
JP 59014040	B4	19840402				
PRIORITY APPLN. INFO.:			JP 1976-37216 A	19760405		
GT						

Title compds. I (R, R1 = H, H; Me, H; F, H) were prepared by treatment of II AB with Ph3P or Bu3P and EtO2CN:NCO2Et (III) or PhO2CN:NCO2Ph. Thus, 3 mL III in THF was added to a mixture of 2 g II (R = R1 = H) and 4.6 g Ph3P in THF over 10 min and the whole stirred 4 h at room temperature, 2 mL H2O added, and the whole heated 20 min at 100° to give 70% I (R = R1 = H). ΙT

15981-92-7P RL: SPN (Synthetic preparation); PREP (Preparation)

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(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
    2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

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L13 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         1974:15137 CAPLUS
DOCUMENT NUMBER:
                         80:15137
TITLE:
                         Nucleotide synthesis. IV. Phosphorylated
                         3'-amino-3'-deoxythymidine and 5'-amino-5'-
                         deoxythymidine and derivatives
AUTHOR (S):
                         Glinski, Ronald P.; Khan, M. Sami; Kalamas, Richard
                         L.; Sporn, Michael B.
CORPORATE SOURCE:
                         Ash Stevens Inc., Detroit, MI, USA
SOURCE:
                         Journal of Organic Chemistry (1973), 38(25), 4299-305
                         CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     3'-Amino-3'-deoxythymidine 5'-phosphate (I) and 5'-amino-5'-deoxythymidine
     3'-phosphate (II) were prepared I and II were prepared via .
     3'-azido-3'deoxythymidine (III) and 5'-azido-5'-deoxythymidine (IV)
     obtained by different multistep pathways. Phosphorylation of III and IV,
     followed by removal of the protecting groups, gave nucleotides, which
     contained azide groups in the 3' and 5' positions, resp. Catalytic reduction
     of the azide groups gave I and II in good yield. I and II formed crystalline
     inner salts, which facilitated purification and characterization. In
addition, I
     was converted into 3'-chloroacetamido-, 3'-N-(O-ethylcarbamoyl)-, and
     3'-heptafluorobutyramido-3'-deoxythymidine 5'-phosphates and II was
     converted into 5'-acetamido-, 5'-chloroacetamido-, and
     5'-N-(O-ethylcarbamoyl)-5'-deoxythymidine 3'-phosphates; these derivs.
    were candidate active-site-directed inhibitors of a nuclear
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exoribonuclease isolated from nuclei of mammalian cells.

RL: SPN (Synthetic preparation); PREP (Preparation)

Absolute stereochemistry.

15981-92-7P

NAME)

IT

L13 ANSWER 60 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1971:530085 CAPLUS

DOCUMENT NUMBER:

75:130085

TITLE:

2'-Deoxy-02,3'-cyclonucleosides

INVENTOR(S):

Kowollik, Gotthard; Gaertner, Klaus; Langen, Peter

SOURCE:

Ger. (East), 4 pp. CODEN: GEXXA8

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DD 77233		19701020	DD	19690602
AB	Et2NCF2CHFCl (II) 1	.0-30 mi:	n at 40-80°,	2.5 mmole Me2CO with 2 cooling, addition of C	aCO3,
				tment with H2O (CO2 evo ta for various III (I,	
	reaction time, and	III yie	ld given): 2	'-deoxyuridine, 4, 5 mi	n, 71%;
				8%; thymidine, 2, 30 mi	
				87%; 5'-O-tosylthymidi	ne, 2, 30
	min, 100%. Other a	protic :	solvents, e.g	g., DMF, may be used.	
ΙT	15981-92-7P				
	RL: SPN (Synthetic (preparation of)	prepara	tion); PREP	(Preparation)	
RN	15981-92-7 CAPLUS				
CN	2,5-Methano-5H,9H-p 2,3-dihydro-3-(hydr NAME)			3]dioxazepin-9-one, -, (2R,3R,5R)- (9CI) (CA INDEX

Absolute stereochemistry.

L13 ANSWER 61 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1971:477193 CAPLUS

DOCUMENT NUMBER:

75:77193

TITLE:

Nucleosides of fluoro sugars. VI. Synthesis and

reactivity of 3'-fluoro- and 3'-chloro-3'-

deoxythymidine

AUTHOR (S):

Etzold, G.; Hintsche, R.; Kowollik, G.; Langen, P.

CORPORATE SOURCE:

Inst. Biochem., Dtsch. Akad. Wiss. Berlin,

Berlin-Buch, Ger. Dem. Rep.

SOURCE:

Tetrahedron (1971), 27(12), 2463-72

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE:

Journal

LANGUAGE:

German

GI For diagram(s), see printed CA Issue.

AB 2,3'-Anhydro-1-(2-deoxy- β -D-threo-pentofuranosyl)thymine (I) reacts with HF or HCl to give cytostatic 3'-fluoro- or 3'-chloro-3-deoxythymidine

(II or III). The formation of II is catalyzed by AlF3.

3'-O-Mesylthymidine reacts with fluorides to form I and in part finally II, but not 1-(2,3-dideoxy-3-fluoro- β -D-xylofuranosyl)thymine. The

configuration at C-3' of II and III is established by recyclization to I. In alkaline medium, II and III are easily converted into 2',3'-didehydro-3'deoxythymidine. II and III show a pos. Cotton effect at 270 nm. The acid resistance increases increases in the order 1-(2-deoxy- β -D-

xylofuranosyl)thymine, thymidine, II and III.

IΤ

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 15981-92-7 CAPLUS

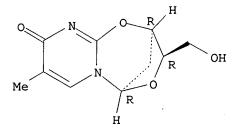
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX

NAME)

RN

Absolute stereochemistry.



CAPLUS COPYRIGHT 2006 ACS on STN L13 ANSWER 62 OF 66

ACCESSION NUMBER:

1970:79401 CAPLUS

DOCUMENT NUMBER:

72:79401

TITLE:

Synthesis of some nucleotides derived from

3'-deoxythymidine

AUTHOR (S):

Russell, Alan F.; Moffatt, J. G.

CORPORATE SOURCE:

Inst. of Mol. Biol., Palo Alto, CA, USA Biochemistry (1969), 8(12), 4889-96

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE:

Journal

LANGUAGE:

SOURCE:

English

Phosphorylation of 3'-deoxy-3'-iodothymidine gives the corresponding 3'-deoxy-3'-iodothymidine 5'-phosphate in high yield. Activation of the phosphate group can be achieved by formation of the phosphoromorpholidate under anhydrous conditions, and subsequent condensation with tributylammonium pyrophosphate in anhydrous dimethyl sulfoxide gives 3'-deoxy-3'-iodothymidine 5'-triphosphate in modest yield. The latter reaction is complicated by simultaneous dehydrohalogenation giving the related 2',3'-unsatd. nucleoside 5'-triphosphate and by extensive intramol. displacement of iodide ion by phosphate giving a3',5'-cyclic phosphate with the 2-deoxy- β -D-threo-pentofuranosyl configuration. The same spectrum of products is obtained using 3'-deoxy-3'-iodothymidine 5'-phosphoroimid-azolate prepared from the parent nucleoside and

triimidazolephos-phine oxide. The various products are characterized by enzymic and spectroscopic techniques, and reduction of either the iodotriphosphate or the unsatd. triphosphate with H and Pd gives 3'-deoxythymidine 5'-triphosphate. Phosphorylation of $1-(2-\text{deoxy}-\beta-D-\text{threo-pentofuranosyl})$ thymine with diphenyl phosphorochloridate gives the crystalline 5'-diphenyl phosphate ester that can be converted with base into the same 3',5'-cyclic phosphate obtained as a by-product during preparation of the triphosphates above. A pair of 3',5'-cyclic phosphate triester diastereoisomeric about their phosphorus atoms are intermediates in this cyclization reaction. 15981-92-7P

IT

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) NAME)

Absolute stereochemistry.

L13 ANSWER 63 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1970:67221 CAPLUS

DOCUMENT NUMBER:

72:67221

TITLE:

Direct preparation of 02,3'-cyclo-2'-deoxynucleosides

AUTHOR (S):

Kowollik, Gotthard; Gaertner, K.; Langen, Peter

CORPORATE SOURCE:

Deut. Akad. Wiss. Berlin, Berlin-Buch, Fed. Rep. Ger.

SOURCE:

Tetrahedron Letters (1969), (44), 3863-5

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE:

Journal

LANGUAGE:

German

Thymidine, 2'-deoxyuridine, or 5-fluoro-2'-deoxyuridine heated with 2-4 molar equivs. ClCHFCF2NEt2 (I) in Me2CO or HCONMe2 briefly at 50-70° and the product crystallized from aqueous alc. yielded the corresponding 02,3'-cyclo-2'-deoxy nucleosides, m. 241-3°, 201-5°, and 197-9° in 75, 71, and 48% yields, resp.

Cyclization of 2'-deoxycytidine was similarly carried out but the product decomposed on column chromatographic separation procedures. Similar cyclization

of 5'-deoxy-5'-chlorothymidine and 5'-O-tosylthymidi ne yielded the corresponding O2,3'-cyclonucleoside, m. 179.5-81.0°, 173-8 0° (decomposition) in 87 and 100% yields. No reaction was observed with uridine.

ΙT 15981-92-7P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 15981-92-7 CAPLUS

2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, CN 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2006 ACS on STN L13 ANSWER 64 OF 66

ACCESSION NUMBER:

1968:105488 CAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

68:105488

TITLE:

Nucleosides. L. Synthesis of 2,3'-imino-1-(2-deoxy-

 β -D-threo-pentofuranosyl)thymine and related

derivatives

AUTHOR (S):

Doerr, Iris L.; Cushley, Robert J.; Fox, Jack J. Div. of Cornell Univ. Med. Coll., Sloan-Kettering

Inst. for Cancer Res., New York, NY, USA

SOURCE:

Journal of Organic Chemistry (1968), 33(4), 1592-9

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

Journal English

LANGUAGE:

CASREACT 68:105488

OTHER SOURCE(S):

For diagram(s), see printed CA Issue.

AΒ Reaction of 5'-deoxy-5'-iodo-3'-O-methylsulfonylthymidine with AqOAc in MeOH afforded the 2,5'-anhydro derivative of 3'-O-methylsulfonylthymidine (I) in good yield which, by treatment with liquid NH3 gave 2,3'-imino-1-(2-deoxy- β -D-threo-pentofuranosyl)thymine (II). II was also prepared from the. 2-0-Me derivative (III). Reaction of I with MeNH2, HONH2, and H2NNH2 yielded the corresponding cyclic N-methyl, N-hydroxy, and N-amino derivs. In the above reactions of I or III with amines the 2,3'-imino derivs. formed via an isocytosine intermediate. The reactions and ultraviolet, pKa, and N.M.R. data of the 2,3'-imino derivs. are reported and discussed. 29 references.

IT 15981-92-7

RL: PRP (Properties)

(nuclear magnetic resonance of)

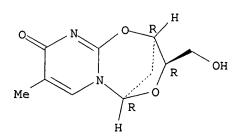
RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.



L13 ANSWER 65 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1963:66738 CAPLUS

DOCUMENT NUMBER:

58:66738

ORIGINAL REFERENCE NO.: 58:11457a-c

TITLE: Pyrimidine nucleosides. XVI. Further studies of

anhydro-nucleosides

AUTHOR(S): Fox, Jack J.; Miller, Naishun C.

CORPORATE SOURCE: Cornell Univ. Med. Coll., New York, NY

SOURCE: Journal of Organic Chemistry (1963), 28, 936-41

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 58:66738
GI For diagram(s), see printed CA Issue.

AB cf. CA 57, 4748d. Syntheses are described for the preparation of the 2'-deoxy-D-threo-pentofuranosyl isomers (I, R = Me and F, resp.) of thymidine and 5-fluoro-2'-deoxyuridine via 2,3'-anhydro-nucleoside intermediates. The conversions of 3'-O-mesylthymidines with sodium benzoate in HCONMe2 are conclusively shown to proceed through

2,3'-anhydronucleoside intermediates under acid-catalyzed conditions. The reaction of certain anhydro-1- (β -D-pentofuranosyl)uracils with sodium benzoate in HCONMe2 has been studied and the role of acid catalysis as a factor in the introduction of nucleophiles into the sugar moiety of 2,2'- or 2,3'-anhydronucleosides is considered. In preliminary screening

studies with mice, 1-(2-deoxy- β -D-threo-pentofuranosyl)-5-

fluorouracil (I, R = F)(II) was effective against leukemia B82T and B82A but II showed no chemotherapeutic effect against leukemia L1210 or Ehrlich ascites tumor.

IT 15981-92-7P, 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-

one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-

RL: PREP (Preparation) (preparation of)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 66 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1963:66737 CAPLUS

DOCUMENT NUMBER: 58:66737

ORIGINAL REFERENCE NO.: 58:11456g-h,11457a

TITLE: Purine nucleosides. IV. The synthesis of 6-halogenated

9- β -D-ribofuranosylpurines from inosine and

guanosine

Journal

AUTHOR(S): Gerster, John F.; Jones, Jesse W.; Robins, Roland K.

CORPORATE SOURCE: Arizona State Univ., Tempe

SOURCE: Journal of Organic Chemistry (1963), 28, 945-8

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 58:66737 GI For diagram(s), see printed CA Issue.

- AB cf. CA 58, 6915h. The present work is a study of the introduction of various halogens into purine ribosides at position 6. Under carefully controlled conditions the sugar moiety may be retained. These compounds provide many new and useful synthetic purine nucleoside intermediates which are now readily available from natural sources. For example, I (X = H) was obtained from inosine and I (X = H2N) from guanosine.
- IT 15981-92-7P, 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9one, 2,3-dihydro-3-(hydroxymethyl)-8-methylRL: PREP (Preparation)

(preparation of) RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10

L12

(FILE 'HOME' ENTERED AT 11:10:24 ON 01 DEC 2006)

FILE 'EPFULL, FRFULL, GBFULL, PATDPAFULL, PCTFULL, RDISCLOSURE, USPATFULL, USPAT2' ENTERED AT 11:10:49 ON 01 DEC 2006

E MEDRONIC MINIMED/PA

E MEDTRONIC MINIMED/PA

L1 458 S E4-E8

L2 4 S L1 AND THYMIDINE

E WALSH JOSEPH/IN

L3 103 S E3-8

L4 8 S L3 AND THYMIDINE

FILE 'CAPLUS' ENTERED AT 11:18:06 ON 01 DEC 2006

L5 1 S WO 2005058246/PN

SELECT L5 1 RN

L6 8517 S E1-E6

FILE 'REGISTRY' ENTERED AT 11:19:14 ON 01 DEC 2006

L7 1 S 15981-92-7/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:20:50 ON 01 DEC 2006

L8 1 S 191474-13-2/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:21:27 ON 01 DEC 2006

L9 1 S 287114-80-1/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:23:19 ON 01 DEC 2006

1 S 50-89-5/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:23:43 ON 01 DEC 2006

L11 1 S 852689-54-4/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 11:25:20 ON 01 DEC 2006

1 S 852689-55-5/RN

SET NOTICE 1 DISPLAY

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FILE 'CAPLUS' ENTERED AT 11:25:42 ON 01 DEC 2006

L13 66 S L7 OR L8

L12 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

RN 852689-55-5 REGISTRY

CN 4(1H)-Pyrimidinone, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-3-O-(methylsulfonyl)- β -D-threo-pentofuranosyl]-2-methoxy-5-methyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H36 N2 O9 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

RN 852689-54-4 REGISTRY

CN 4(1H)-Pyrimidinone, 1-[5-0-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-β-D-threo-pentofuranosyl]-2-methoxy-5-methyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H34 N2 O7

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Jam

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ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
L8
     191474-13-2 REGISTRY
RN
CN
     2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
     3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,
     (2R, 3R, 5R) - (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
     3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,
     [2R-(2\alpha, 3\beta, 5\alpha)] -
FS
     STEREOSEARCH
     C31 H30 N2 O6
MF
SR
     CA
LC
     STN Files:
                  CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Journal; Patent
       Roles from patents: PREP (Preparation); RACT (Reactant or reagent)
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RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

- **PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
 - 10 REFERENCES IN FILE CA (1907 TO DATE)
 - 10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L7 1 15981-92-7/RN

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND SET COMMAND COMPLETED

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YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):Y
THE ESTIMATED COST FOR THIS REQUEST IS 6.36 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

- L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 15981-92-7 REGISTRY
- CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

- CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
 - 2,3-dihydro-3-(hydroxymethyl)-8-methyl- (7CI)
- CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
 - 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, stereoisomer (8CI)
- CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
- 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, [2R-(2α ,3 β ,5 α)]-

OTHER NAMES:

- CN 2,3'-Anhydro-1-(2'-deoxy- β -D-threo-pentofuranosyl)thymine
- CN 2,3'-O-Cyclothymidine
- CN NSC 144601
- CN 02,3'-Cyclothymidine
- FS STEREOSEARCH
- DR 471257-57-5, 133000-27-8, 148665-51-4
- MF C10 H12 N2 O4
- LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CSCHEM, PS, TOXCENTER, USPATFULL (*File contains numerically searchable property data)
- DT.CA Caplus document type: Journal; Patent
- RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
- RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
- RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 58 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 58 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 13 and THYMIDINE

L4 8 L3 AND THYMIDINE

=> d ibib 1-8

L4 ANSWER 1 OF 8 EPFULL COPYRIGHT 2006 EPO/FIZ KA on STN

ACCESSION NUMBER: 2004:140333 EPFULL

ENTRY DATE PATENT: 20050824
ENTRY DATE PUBLICATION: 20050824
UPDATE DATE PUBLICAT:: 20050824
DATA UPDATE DATE: 20050824
DATA UPDATE WEEK: 200534

TITLE (ENGLISH): METHOD FOR PREPARING RADIOLABELED THYMIDINE

HAVING LOW CHROMOPHORIC BYPRODUCTS

TITLE (FRENCH): PROCEDE DE PREPARATION DE THYMIDINE

RADIOMARQUEE POSSEDANT DE FAIBLES QUANTITES DE

SOUS-PRODUITS CHROMOPHORES

INVENTOR(S): WALSH, Joseph C., CTI Molecular Technologies,

Inc., 6140 Bristol Parkway, Culver City, CA 90230,
US; PADGETT, Henry C., CTI Molecular Technologies,
Inc, 6140 Bristol Parkway, Culver City, CA 90230, US;
YSAGUIRRE, Tanea, CTI Molecular Technologies, Inc.,
6140 Bristol Parkway, Culver City, CA 90230, US

PATENT APPLICANT(S): Molecular Technologies, Inc., 810 Innovation Drive,

Knoxville, TN 37932, US

PATENT APPL. NUMBER: 7036830

DOCUMENT TYPE: Patent

LANGUAGE OF FILING: English

LANGUAGE OF PROCEDURE: English

LANGUAGE OF TITLE: English; French

PATENT INFO TYPE: WOA2 International application published without search

report

PATENT INFORMATION:

DESIGNATED STATES: AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT

LI LT LU MC NL PL PT RO SE SI SK TR

EXTENSION STATES: AL BA HR LV MK YU

APPLICATION INFO.: EP 2004-817034 A 20041215 WO 2004-US41955 A 20041215 PRIORITY INFO.: US 2003-736087 A 20031215

L4 ANSWER 2 OF 8 EPFULL COPYRIGHT 2006 EPO/FIZ KA on STN

ACCESSION NUMBER: 2004:140332 EPFULL

ENTRY DATE PATENT: 20050824
ENTRY DATE PUBLICATION: 20050824
UPDATE DATE PUBLICAT: 20050824
DATA UPDATE DATE: 20050824
DATA UPDATE WEEK: 200534

TITLE (ENGLISH): METHOD FOR PREPARING RADIOLABELED THYMIDINE

TITLE (FRENCH): PROCEDE DE PREPARATION DE THYMIDINE

RADIOMARQUEE

INVENTOR(S): WALSH, Joseph C., CTI Molecular Technologies Inc.,

6140 Bristol Parkway, Culver City, CA 90230, US; PADGETT, Henry C., CTI Molecular Technologies Inc., 6140 Bristol Parkway, Culver City, CA 90230, US

PATENT APPLICANT(S): Molecular Technologies, Inc., 810 Innovation Drive,

Knoxville, TN 37932, US

PATENT APPL. NUMBER: 7036830

DOCUMENT TYPE: Patent
LANGUAGE OF FILING: English
LANGUAGE OF PUBL: English
LANGUAGE OF PROCEDURE: English

LANGUAGE OF TITLE: English; French

PATENT INFO TYPE: WOA2 International application published without search

report

PATENT INFORMATION:

DESIGNATED STATES: AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT

LI LT LU MC NL PL PT RO SE SI SK TR

EXTENSION STATES: AL BA HR LV MK YU

APPLICATION INFO.: EP 2004-817033 A 20041215 WO 2004-US41954 A 20041215 PRIORITY INFO.: US 2003-736084 A 20031215

L4 ANSWER 3 OF 8 PCTFULL COPYRIGHT 2006 Univentio on STN ACCESSION NUMBER: 2006116629 PCTFULL ED 20061107 EW 200644

TITLE (ENGLISH): CLICK CHEMISTRY METHOD FOR SYNTHESIZING MOLECULAR

IMAGING PROBES

TITLE (FRENCH): PROCEDE DE CHIMIE A HAUTE AFFINITE POUR LA SYNTHESE DE

SONDES D'IMAGERIE MOLECULAIRE

INVENTOR(S): WALSH, Joseph, C., 831 Galloway Street, Pacific

Palisades, California 90272, US;

KOLB, Hartmuth, C., 6413 Pacific Ave., Playa Del Rey,

CA 90293, US;

CHEN, Kai, 2850 S. Sepulveda Blvd., Apt. 11, Los

Angeles, CA 90064, US

PATENT ASSIGNEE(S): SIEMENS MEDICAL SOLUTIONS USA, INC., 51 Valley Stream

Parkway, Malvern, Pennsylvania 19355-1406, US

AGENT: DOUGLASS, John, Kirkland, Jr.\$, SIEMENS CORPORATION.

INTELLECTUAL PROPERTY DEPARTMENT, 170 Wood Avenue

South, Iselin, New Jersey 088; 08830\$, US English

LANGUAGE OF FILING:

LANGUAGE OF PUBL: English
DOCUMENT TYPE: Patent

PATENT INFORMATION:

DESIGNATED STATES

W:

AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KM KN KP KR KZ LC LK LR LS LT LU LV LY MA MD MG MK MN MW MX MZ NA NG NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT

TZ UA UG US UZ VC VN YU ZA ZM ZW

RW (ARIPO): BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW

RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT

LT LU LV MC NL PL PT RO SE SI SK TR

RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2006-US16088 A 20060427 PRIORITY INFO.: US 2005-60675267 20050427

L4 ANSWER 4 OF 8 ACCESSION NUMBER: TITLE (ENGLISH):

TITLE (FRENCH):

PCTFULL COPYRIGHT 2006 Univentio on STN 2005058247 PCTFULL ED 20050706 EW 200526 METHOD FOR PREPARING RADIOLABELED THYMIDINE

HAVING LOW CHROMOPHORIC BYPRODUCTS
PROCEDE DE PREPARATION DE THYMIDINE

RADIOMARQUEE POSSEDANT DE FAIBLES QUANTITES DE

SOUS-PRODUITS CHROMOPHORES INVENTOR(S): WALSH, Joseph C., CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, PADGETT, Henry C., CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US; YSAGUIRRE, Tanea, CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US MOLECULAR TECHNOLOGIES, INC., 810 Innovation Drive, PATENT ASSIGNEE(S): Knoxville, TN 37932, US [US, US], for all designates States except US AGENT: FITCH, Morgan L.\$, Pitts & Brittian, P.C, P.O. Box 51295, Knoxville, TN 37950-1295\$, US LANGUAGE OF FILING: English LANGUAGE OF PUBL .: English DOCUMENT TYPE: Patent PATENT INFORMATION: KIND NUMBER DATE -----WO 2005058247 A2 20050630 DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO W: CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW RW (ARIPO): RW (EAPO): AM AZ BY KG KZ MD RU TJ TM RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
APPLICATION INFO:: WO 2004-US41955 A 20041215
PRIORITY INFO: LT LU MC NL PL PT RO SE SI SK TR PRIORITY INFO.: US 2003-10/736,087 20031215 L4 ANSWER 5 OF 8 ACCESSION NUMBER: PCTFULL COPYRIGHT 2006 Univentio on STN 2005058246 PCTFULL ED 20050706 EW 200526 TITLE (ENGLISH): METHOD FOR PREPARING RADIOLABELED THYMIDINE TITLE (FRENCH): PROCEDE DE PREPARATION DE THYMIDINE RADIOMARQUEE INVENTOR(S): WALSH, Joseph, C., CTI Molecular Technologies, Inc., 6140 Bristol PArkway, Culver City, CA 90230, PADGETT, Henry, C., CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US PATENT ASSIGNEE(S): MOLECULAR TECHNOLOGIES, INC., 810 Innovation Drive, Knoxville, TN 37932, US [US, US], for all designates States except US AGENT:

FITCH, Morgan, L.\$, Pitts & Brittian, P.C., P.O. Box 51295, Knoxville, TN 37950-1295\$, US $\,$

LANGUAGE OF FILING: English
LANGUAGE OF PUBL: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

DESIGNATED STATES

W:

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CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR
HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV
MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO
RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ

VC VN YU ZA ZM ZW

RW (ARIPO): BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW

RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT

LT LU MC NL PL PT RO SE SI SK TR

BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG RW (OAPI):

APPLICATION INFO.: WO 2004-US41954 A 20041215 PRIORITY INFO.: US 2003-10/736,084 20031215

ANSWER 6 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2006:307682 USPATFULL

TITLE: Click chemistry method for synthesizing molecular

imaging probes

INVENTOR(S): Kolb, Hartmuth, Playa Del Rey, CA, UNITED STATES

Walsh, Joseph C., Pacific Palisades, CA,

UNITED STATES

Chen, Kai, Los Angeles, CA, UNITED STATES

KIND DATE NUMBER -----

PATENT INFORMATION:

US 2006263293 A1 20061123 US 2006-413596 A1 20060427 (11) APPLICATION INFO.:

> NUMBER DATE ______

US 2005-675267P 20050427 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility APPLICATION

LEGAL REPRESENTATIVE: SIEMENS CORPORATION, INTELLECTUAL PROPERTY DEPARTMENT,

170 WOOD AVENUE SOUTH, ISELIN, NJ, 08830, US
47

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 1633

ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2005:152310 USPATFULL

TITLE: Method for preparing radiolabeled thymidine

INVENTOR(S): Walsh, Joseph C., Pacific Palisades, CA,

UNITED STATES

Padgett, Henry C., Hermosa Beach, CA, UNITED STATES

PATENT ASSIGNEE(S): CTI PET Systems, Inc. (U.S. corporation)

NUMBER KIND DATE -----

US 2005131224 A1 20050616 US 2003-736084 A1 20031215 PATENT INFORMATION: APPLICATION INFO.: A1 20031215 (10)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PITTS AND BRITTIAN P C, P O BOX 51295, KNOXVILLE, TN,

37950-1295, US

NUMBER OF CLAIMS: 34 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Page(s)

LINE COUNT: 554

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 8 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2005:152309 USPATFULL

TITLE: Method for preparing radiolabeled thymidine

having low chromophoric byproducts

INVENTOR(S): Walsh, Joseph C., Pacific Palisades, CA,

UNITED STATES

Padgett, Henry C., Hermosa Beach, CA, UNITED STATES

Ysaguirre, Tanea, Los Angeles, CA, UNITED STATES

PATENT ASSIGNEE(S): CTI PET Systems, Inc. (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2005131223 A1 20050616

APPLICATION INFO.: US 2003-736087 A1 20031215 (10)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PITTS AND BRITTIAN P C, P O BOX 51295, KNOXVILLE, TN,

37950-1295, US

NUMBER OF CLAIMS: 50 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 553

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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                   MEDTRONIC MEDIZINISCH-ELEKTRONISCHE GERAETEGESELLSCHAFT MBH,
E1
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                    6390 USINGEN, DE/PA
E2
                   MEDTRONIC MIDAS REX/PA
E3
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E4
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E10
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L1
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              IDGE, CALIF., US"/PA OR "MEDTRONIC MINIMED, INC., WILMINGTON,
              DEL., US"/PA)
=> s ll and thymidine
L2
             4 L1 AND THYMIDINE
=> d idid 1-4
'IDID' IS NOT A VALID FORMAT
In a multifile environment, a format can only be used if it is valid
in at least one of the files. Refer to file specific help messages
or the STNGUIDE file for information on formats available in
individual files.
REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT): end
=> d ibib 1-4
       ANSWER 1 OF 4
                                   COPYRIGHT 2006 Univentio on STN
                         PCTFULL
ACCESSION NUMBER:
                        2005039622 PCTFULL ED 20050511 EW 200518
TITLE (ENGLISH):
                        MODULATION OF SOCS EXPRESSION IN THE THERAPEUTIC
                        REGIMENS
TITLE (FRENCH):
                        MODULATION DE L'EXPRESSION DE SOCS DANS DES SCHEMAS
                        POSOLOGIQUES THERAPEUTIQUES
INVENTOR(S):
                        VAN ANTWERP, William, P., 26833 Pinehurst Drive,
                        Valencia, CA 91355, US [US, US];
                        GULATI, Poonam, S., 5467 La Forest Drive, La Canada, CA
                       .91011, US [US, US]
PATENT ASSIGNEE(S):
                        MEDTRONIC MINIMED, INC., 18000 Devonshire Street,
                        Northridge, CA 91325-1219, US [US, US], for all
                        designates States except US;
                        VAN ANTWERP, William, P., 26833 Pinehurst Drive,
                        Valencia, CA 91355, US [US, US], for US only;
                        GULATI, Poonam, S., 5467 La Forest Drive, La Canada, CA
                        91011, US [US, US], for US only
AGENT:
                        WOOD, William, J.$, Gates & Cooper LLP, Suite 1050,
                        6701 Center Drive West, Los Angeles, CA 90045$, US
LANGUAGE OF FILING:
                        English
LANGUAGE OF PUBL.:
                        English
DOCUMENT TYPE:
                        Patent
PATENT INFORMATION:
                        NUMBER
                                           KIND
                        WO 2005039622
                                            A2 20050506
DESIGNATED STATES
      W:
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AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO

CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ

VC VN YU ZA ZM ZW

BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW RW (ARIPO):

RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU

MC NL PL PT RO SE SI SK TR

RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: PRIORITY INFO.: WO 2004-US29170 A 20040908 US 2003-60/513,100 20031021

ANSWER 2 OF 4 PCTFULL COPYRIGHT 2006 Univentio on STN ACCESSION NUMBER: TITLE (ENGLISH): 2003094958 PCTFULL ED 20031125 EW 200347

TITLE (ENGLISH): IMMUNOPROTECTIVE METHODS FOR BETA CELL NEOGENESIS

PROCEDES D'IMMUNOPROTECTION DE LA NEOGENESE DE CELLULES TITLE (FRENCH):

INVENTOR(S): VAN ANTWERP, William, P., 26833 Pinehurst Drive,

Valencia, CA 91355, US

PATENT ASSIGNEE(S): MEDTRONIC MINIMED, INC., 18000 Devonshire Street,

Northridge, CA 91325-1219, US [US, US]

AGENT: WOOD, William, J.\$, Gates & Cooper LLP, 6701 Center

Drive West, Suite 1050, Los Angeles, CA 90045\$, US

LANGUAGE OF FILING: English LANGUAGE OF PUBL.: English DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE -----WO 2003094958 A1 20031120

DESIGNATED STATES

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR

> CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW

GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW RW (ARIPO):

AM AZ BY KG KZ MD RU TJ TM RW (EAPO):

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU

MC NL PT RO SE SI SK TR

RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
APPLICATION INFO: WO 2003-US14402 A 20030509
PRIORITY INFO: US 2002-60/379 202 PRIORITY INFO.: US 2002-60/379,202 20020509

ANSWER 3 OF 4 USPATFULL on STN

ACCESSION NUMBER: 2005:98562 USPATFULL

TITLE:

Modulation of SOCS expression in therapeutic regimens Van Antwerp, William P., Valencia, CA, UNITED STATES INVENTOR(S):

Gulati, Poonam S., La Canada, CA, UNITED STATES

PATENT ASSIGNEE(S): Medtronic MiniMed, Inc. (U.S. corporation)

NUMBER KIND DATE US 2005084477 A1 20050421 US 2004-936390 A1 20040908 (10) PATENT INFORMATION: APPLICATION INFO.:

NUMBER DATE

-----PRIORITY INFORMATION: US 2003-513100P 20031021 (60)

DOCUMENT TYPE: FILE SEGMENT: Utility APPLICATION

LEGAL REPRESENTATIVE: GATES & COOPER LLP, HOWARD HUGHES CENTER, 6701 CENTER

DRIVE WEST, SUITE 1050, LOS ANGELES, CA, 90045, US

NUMBER OF CLAIMS: 21 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

1 Drawing Page(s)

LINE COUNT:

1888

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 4 OF 4 USPATFULL on STN

ACCESSION NUMBER:

2003:300774 USPATFULL

TITLE:

Immunoprotective methods for beta cell neogenesis Van Antwerp, William P., Valencia, CA, UNITED STATES

INVENTOR(S):

PATENT ASSIGNEE(S):

Medtronic MiniMed, Inc. (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION:

-----US 2003212000 A1 20031113 US 2003-434906 A1 20030509 (10)

APPLICATION INFO.:

NUMBER DATE

PRIORITY INFORMATION:

US 2002-379202P 20020509 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

GATES & COOPER LLP, HOWARD HUGHES CENTER, 6701 CENTER

DRIVE WEST, SUITE 1050, LOS ANGELES, CA, 90045

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

31 1

NUMBER OF DRAWINGS:

1 Drawing Page(s)

LINE COUNT:

1834

CAS INDEXING IS AVAILABLE FOR THIS PATENT.